

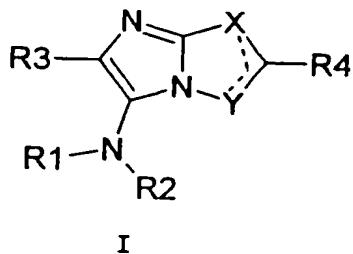
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

Claims 1-18 (Cancelled)

Claim 19. (new) A bicyclic imidazo-5-yl-amine of formula I



wherein

R¹ denotes C(CH₃)₃; (CH₂)₆CN; optionally substituted phenyl; C₄-C₈-cycloalkyl; CH₂CH₂R (R = 4-morpholino); 1,1,3,3-tetramethylbutyl; or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl),

R² denotes hydrogen; COR^b, wherein R^b represents hydrogen, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, CH₂CH₂CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), adamantyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thiazolyl or furoyl; CH₂R^c, wherein R^c represents hydrogen, branched or unbranched C₁-C₈-

alkyl or optionally substituted phenyl; $\text{CH}_2\text{CH}_2\text{R}^d$, wherein R^d represents optionally substituted phenyl; or CONHR^e , wherein R^e represents phenyl,

R^3 denotes branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, $\text{C}_3\text{-C}_8$ -cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR^5 or N , and

Y is N ,

R^4 and R^5 independently of one another denote hydrogen; branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl; fluorine; chlorine; bromine; CF_3 ; CN ; NO_2 ; NHR^f , wherein R^f represents hydrogen, branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl or optionally substituted phenyl; SR^g , wherein R^g represents hydrogen, branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h , wherein R^h represents branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl); CO(OR') or $\text{CH}_2\text{CO(OR')}$, wherein R' in each case has the abovementioned meaning or in the case of the group $\text{CH}_2\text{CO(OR')}$ also denotes hydrogen, or an optionally substituted phenyl group,

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, $\text{C}_1\text{-C}_4$ alkylamido group, $\text{C}_1\text{-C}_4$ alkylamino group, pyrrolidino group, branched or unbranched $\text{C}_1\text{-C}_6$ alkyl group, $\text{C}_1\text{-C}_4$ alkyl group substituted with one or more halogen atoms, $\text{C}_1\text{-C}_4$ alkoxy group, $\text{C}_1\text{-C}_4$ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

or a pharmaceutically acceptable salt thereof,

excluding compounds in which simultaneously R¹ denotes C(CH₃)₃, R² denotes hydrogen, R³ denotes unsubstituted phenyl, and Y denotes N, or simultaneously R¹ denotes C(CH₃)₃, R² denotes hydrogen, R³ denotes unsubstituted phenyl, Y denotes NH, and X denotes N or CR⁵, where R⁵ = CO₂ethyl.

Claim 20. (new) A bicyclic imidazo-5-yl-amine according to claim 19,

wherein R³ is a substituted phenyl group selected from the group consisting of 4-acetamidophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 4-bromo-2-fluorophenyl, 5-bromo-2-fluorophenyl, 3-bromo-4-fluorophenyl, 4-*tert*-butylphenyl, 2-chloro-4-fluorophenyl, 2-chloro-6-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-cyanophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-hexylphenyl, 3-hydroxyphenyl, 2-methoxyphenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-nitrophenyl, 3-phenoxyphenyl, 4-(1-pyrrolidino)phenyl, 2-(trifluoromethyl)phenyl, 3-(trifluoromethyl)phenyl, 4-(trifluoromethyl)phenyl, 3,4,5-trimethoxyphenyl, 3-(4-chlorophenoxy)phenyl and 4-acetoxy-3-methoxyphenyl,

or R³ is a substituted 1-naphthyl group selected from the group consisting of 4-dimethylaminonaphthyl, 2-ethoxynaphthyl and 4-methoxynaphthyl,

or R³ is a substituted pyrrole group selected from the group consisting of 2-(1-(phenylsulfonyl)pyrrole), 2-(N-methylpyrrole), 2-(N-(3,5-dichlorophenyl)pyrrole and 2-(1-(4-chlorophenyl)pyrrole),

or R³ is a substituted furfuryl group selected from the group consisting of 2-(5-acetoxymethylfurfuryl), 2-(5-methylfurfuryl), 2-(5-nitrofurfuryl), 2-[5-(3-nitrophenyl)furfuryl], 2-[5-(2-nitrophenyl)furfuryl], 2-(5-bromofurfuryl), 2-[5-(4-

chlorophenyl)furfuryl], 2-(4,5-dimethylfurfuryl), 2-[5-(2-chlorophenyl)furfuryl], 2-(5-ethylfurfuryl) and 2-[5-(1,3-dioxalane)furfuryl],

or R³ is a substituted thiophene group, selected from the group consisting of 2-(5-chlorothiophenyl), 2-(5-methylthiophenyl), 2-(5-ethylthiophenyl), 2-(3-methylthiophenyl), 2-(4-bromothiophenyl), 2-(5-nitrothiophenyl), 5-(2-carboxythiophenyl), 2-[4-(phenylethyl)thiophenyl], 2-[5-(methylthio)thiophenyl], 2-(3-bromothiophenyl), 2-(3-phenoxythiophenyl) and 2-(5-bromothiophenyl).

Claim 21. (new) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^b is a substituted phenyl group selected from the group consisting of 3,5-bis(trifluoromethyl)phenyl, 2-bromophenyl, 2-fluorophenyl, pentafluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 2-chlorophenyl, 2,4-dichlorophenyl, 2-acetylphenyl, 2-methoxyphenyl, 2,6-dimethoxyphenyl, 2-(trifluoromethyl)phenyl, 2-methylphenyl, 3-bromophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-dichlorophenyl, 3-methoxyphenyl, 3,4-dimethoxyphenyl, 3,4,5-trimethoxyphenyl, 3,5-dimethoxyphenyl, 3-(trifluoromethyl)phenyl, 3-methoxyphenyl, 4-bromophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-(trifluoromethyl)phenyl, 4-*tert*-butylphenyl, 4-methylphenyl, 2-iodophenyl, 4-iodophenyl, 4-cyanophenyl, 2-nitrophenyl, 3-nitrophenyl, 3,5-dinitrophenyl, 4-nitrophenyl, 3,5-dichlorophenyl, 2,5-difluorophenyl, 2,4-dimethoxyphenyl, 3-nitro-4-methylphenyl, 2,5-dichlorophenyl, 2,3-difluorophenyl, 4-(trifluoromethoxy)phenyl, 2-(trifluoromethoxy)phenyl, and 3-(trifluoromethoxy)phenyl.

Claim 22. (new) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^c is a substituted phenyl group selected from the group consisting of 2-fluorophenyl, 2-chlorophenyl, 2-methylphenyl 2-(trifluoromethyl)phenyl, 2-bromophenyl, 3-methoxyphenyl, 3-nitrophenyl, 3-chlorophenyl, 3-fluorophenyl, 3-phenoxyphenyl, 3-(trifluoromethoxy)phenyl, 3-bromophenyl, 3-chlorophenyl, 3-

methylphenyl, 4-*tert*-butylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-vinylphenyl, 4-(trifluoromethoxy)phenyl, 3,5-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)phenyl, 3,5-difluorophenyl, 3,5-dimethylphenyl 2,3-dichlorophenyl, 2,3-dimethylphenyl, 2,3-difluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-4-fluorophenyl, 2,4-di(trifluoromethyl)phenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,4-dimethylphenyl, 2,5-dichlorophenyl, 2,5-dimethylphenyl, 2,5-difluorophenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-dimethylphenyl, 2,3,4-trifluorophenyl, 2,3,6-trifluorophenyl, 2,4,5-trifluorophenyl, 2,4,6-trimethylphenyl and pentafluorophenyl.

Claim 23. (new) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^d is a substituted phenyl group selected from the group consisting of 3-chlorophenyl, 4-chlorophenyl, 4-carboxyphenyl, 4-acetylphenyl, 4-methoxyphenyl, 4-fluorophenyl, 4-nitrophenyl and 4-hydroxyphenyl.

Claim 24. (new) A bicyclic imidazo-5-yl-amine selected from the group consisting of

tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,

tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,

tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine, and

N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide,

or a pharmaceutically acceptable salt thereof.

Claim 25. (new) A pharmaceutical composition comprising at least one pharmaceutically active bicyclic imidazo-5-yl-amine according to Claim 19, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

Claim 26. (new) A pharmaceutical composition according to Claim 25, wherein at least one bicyclic imidazo-5-yl-amine is selected from the group consisting of

tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,

tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,

tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

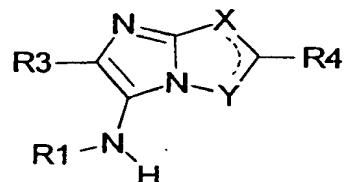
[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine, and

N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide.

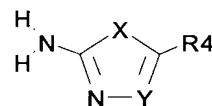
Claim 27. (new) A method for the treatment of pain, comprising administering to a patient in need thereof an effective pain-alleviating amount of a pharmaceutical composition according to Claim 25.

Claim 28. (new) A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula Ia,



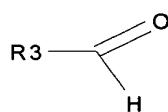
Ia

the process being three-component reaction and comprising reacting an amidine of Formula II



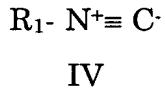
II

with an aldehyde of Formula III



III

and an isonitrile of Formula IV



in the presence of 20% perchloric acid,

wherein in all formulae,

R^1 denotes $\text{C}(\text{CH}_3)_3$, $(\text{CH}_2)_6\text{CN}$, optionally substituted phenyl, $\text{C}_4\text{-C}_8$ -cycloalkyl, $\text{CH}_2\text{CH}_2\text{R}$ ($\text{R} = 4$ -morpholino), 1,1,3,3-tetramethylbutyl or CH_2R^a , wherein R^a represents hydrogen, branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, optionally substituted phenyl, $\text{CO}(\text{OR}')$ (where R' = branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl), $\text{PO}(\text{OR}'')_2$ (where R'' = branched or unbranched $\text{C}_1\text{-C}_4$ -alkyl) or $\text{Si}(\text{R}^x\text{R}^y\text{R}^z)$ (where R^x , R^y and R^z in each case independently of one another are branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, $\text{C}_4\text{-C}_8$ -cycloalkyl or phenyl),

R^3 denotes branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, $\text{C}_3\text{-C}_8$ -cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR^5 or N ,

Y is N ,

R^4 and R^5 independently of one another denote hydrogen; branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl; fluorine; chlorine; bromine; CF_3 ; CN ; NO_2 ; NHR^f , wherein R^f represents hydrogen, branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl or optionally substituted phenyl; SR^g , wherein R^g represents hydrogen, branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h , wherein R^h represents branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl, optionally substituted phenyl or $\text{CO}(\text{OR}')$ (R' = branched or unbranched $\text{C}_1\text{-C}_8$ -alkyl); $\text{CO}(\text{OR}')$ or $\text{CH}_2\text{CO}(\text{OR}')$, wherein R' in each case has the abovementioned meaning or in the case of the

group $\text{CH}_2\text{CO(OR')}$ also denotes hydrogen, or an optionally substituted phenyl group,

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, $\text{C}_1\text{-C}_4$ alkylamido group, $\text{C}_1\text{-C}_4$ alkylamino group, pyrrolidino group, branched or unbranched $\text{C}_1\text{-C}_6$ alkyl group, $\text{C}_1\text{-C}_4$ alkyl group substituted with one or more halogen atoms, $\text{C}_1\text{-C}_4$ alkoxy group, $\text{C}_1\text{-C}_4$ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

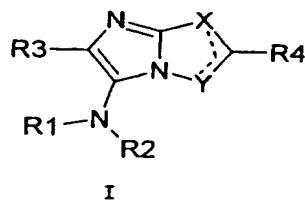
excluding compounds wherein R^1 denotes $\text{C}(\text{CH}_3)_3$, R^3 denotes unsubstituted phenyl, and Y denotes N , or wherein R^1 denotes $\text{C}(\text{CH}_3)_3$, R^3 denotes unsubstituted phenyl, Y denotes NH , and X denotes N or CR^5 , where R^5 = CO_2ethyl ,

Claim 29. (new) A process according to Claim 28, wherein the reaction is carried out in methylene chloride at a temperature of 0°C to 40°C .

Claim 30. (new) A process according to Claim 29, wherein the temperature is between 10°C and 20°C .

Claim 31. (new) A process according to Claim 29, wherein the compound of Formula II is selected from the group consisting of 3-aminopyrazole, 3-amino-1,2,4-triazole, 2-amino-1,3,4-thiadiazole and 2-aminothiazole.

Claim 32. (new) A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula I



the process comprising reacting a compound of Formula Ia according to Claim 12 with a compound R²Hal, wherein Hal represents bromine, iodine or chlorine, or with an optionally substituted isocyanate R^eNCO in the presence of a morpholine resin in methylene chloride for 2 to 24 hours at a temperature between 10°C and 40°C,

wherein R² denotes hydrogen; COR^b, wherein R^b represents hydrogen, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, CH₂CH₂CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), adamantyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thiazolyl or furoyl; CH₂R^c, wherein R^c represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; CH₂CH₂R^d, wherein R^d represents optionally substituted phenyl; or CONHR^e, wherein R^e represents phenyl, and

wherein optionally substituted isocyanate is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group.

Claim 33. (new) The process of Claim 32, wherein after the reaction excess reagents are removed by filtration through a layer of polymer-bonded tris(2-aminoethyl) amine.

Claim 34. (new) The process of Claim 32, wherein the compound of Formula Ia is first dissolved in methylene chloride or THF.

Claim 35. (new) The process according to Claim 32, wherein R²Hal is an optionally substituted alkyl chloride, aryl chloride or hydrogen chloride.

Claim 36. (new) The process of Claim 32, wherein the morpholine resin is a polystyrene-morpholine resin.